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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: SABHA Qazi Examiner #: 74141 Date: 10/20/05
Art Unit: 1616 Phone Number: 2-0622 Serial Number: 10/763,023
Location (Bldg/Room#): 4445 (Mailbox #): 4C70 Results Format Preferred (Circle) PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: (20S)-1 α -Hydroxy-2 α -Methyl-19-nor Vit D₃
Inventors (please provide full names): DeLuca et al. thevenaz

Earliest Priority Date: 4/22/02

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

cl 1-6

Please search for the compound in cl 1
(20S)-1 α -hydroxy-2 α -methyl-19-nor Vit. D₃
and its method of use

Please see attached sheets

Search may be extended for lower
alkyl at 2-position.

Thank you

Please see

Search form 7

11/037876

+ Structure.

=> d his ful

(FILE 'HOME' ENTERED AT 09:07:47 ON 27 OCT 2005)

FILE 'REGISTRY' ENTERED AT 09:07:53 ON 27 OCT 2005

L1 STR
L2 0 SEA SSS SAM L1
L3 7 SEA SSS FUL L1
D SCA

FILE 'HCAPLUS' ENTERED AT 09:11:57 ON 27 OCT 2005

L4 5 SEA ABB=ON PLU=ON L3

FILE 'BEILSTEIN' ENTERED AT 09:12:14 ON 27 OCT 2005

L5 0 SEA SSS FUL L1

FILE 'MARPAT' ENTERED AT 09:12:46 ON 27 OCT 2005

L6 2 SEA ABB=ON PLU=ON L4
L7 0 SEA ABB=ON PLU=ON L6 NOT L4
D QUE STAT L4

FILE 'HCAPLUS' ENTERED AT 09:14:01 ON 27 OCT 2005

D L4 IBIB ABS HITSTR 1-5

FILE 'MARPAT' ENTERED AT 09:14:04 ON 27 OCT 2005

L8 STR L1
L9 0 SEA SSS SAM L8
DIS SIA
L10 STR L8
L11 0 SEA SSS SAM L10
L12 STR L10
L13 0 SEA SSS SAM L12
L14 11 SEA SSS FUL L12

FILE 'REGISTRY' ENTERED AT 09:18:07 ON 27 OCT 2005

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L16 STR L8
L17 0 SEA SSS SAM L16
L18 10 SEA SSS FUL L16
L19 3 SEA ABB=ON PLU=ON L18 NOT L3
D SCA

FILE 'HCAPLUS' ENTERED AT 09:20:01 ON 27 OCT 2005

L20 7 SEA ABB=ON PLU=ON L18

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 OCT 2005 HIGHEST RN 866186-08-5
DICTIONARY FILE UPDATES: 26 OCT 2005 HIGHEST RN 866186-08-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

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FILE COVERS 1907 - 27 Oct 2005 VOL 143 ISS 18
FILE LAST UPDATED: 26 Oct 2005 (20051026/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

 * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
 * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
 * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
 * FOR PRICE INFORMATION SEE HELP COST *

NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
 SEARCHED, SELECTED AND TRANSFERRED.
 * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
 ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
 COMPOUND AT A GLANCE.

FILE MARPAT

FILE CONTENT: 1988-PRESENT (VOL 143 ISS 17) (20051021/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

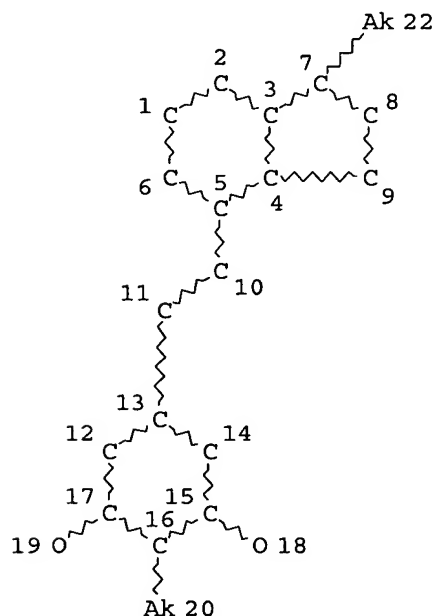
US 6921776 26 JUL 2005
 DE 1020040544 04 AUG 2005
 EP 1561735 10 AUG 2005
 JP 2005197665 21 JUL 2005
 WO 2005079855 01 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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L16 .STR



NODE ATTRIBUTES:

CONNECT IS E1 RC AT 20
 CONNECT IS E1 RC AT 22
 DEFAULT MLEVEL IS ATOM
 GGCAT IS BRA AT 22
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

L18 10 SEA FILE=REGISTRY SSS FUL L16
 L20 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L18

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L20 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:474934 HCAPLUS
 DOCUMENT NUMBER: 143:20385
 TITLE: Vitamin d analogs for obesity prevention and treatment
 INVENTOR(S): Deluca, Hector F.; Clagett-Dame, Margaret; Ahrens,
 Jamie M.; Ntambi, James M.; Thomson, Brian
 PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
 SOURCE: U.S. Pat. Appl. Publ., 102 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119242	A1	20050602	US 2004-997698	20041124
WO 2005051396	A2	20050609	WO 2004-US39524	20041124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005051323	A2	20050609	WO 2004-US39625	20041124
WO 2005051323	A3	20050707		
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NE, SN, TD, TG
 US 2005143358 A1 20050630 US 2004-996642 20041124
 PRIORITY APPLN. INFO.: US 2003-524798P P 20031125
 US 2003-524813P P 20031125

OTHER SOURCE(S): MARPAT 143:20385

AB Methods for treating and preventing obesity, inhibiting adipocyte differentiation, inhibiting increased SCD-1 gene transcription, and/or reducing body fat in a subject include administering at least one analog of 1 α ,25-dihydroxyvitamin D3, 1 α ,25-dihydroxyvitamin D2, or 19-nor vitamin D or a pharmaceutical composition that includes such an analog to a subject in need thereof are disclosed.

IT 736995-69-0P

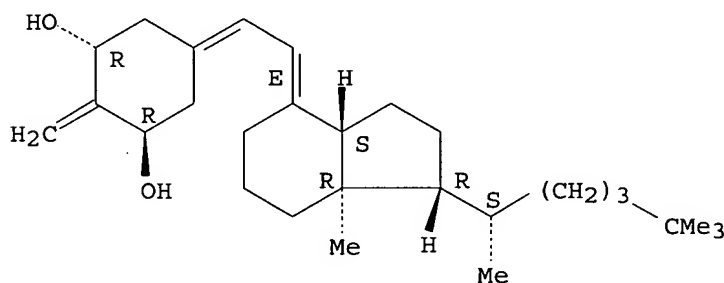
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(vitamin D analogs for obesity prevention and treatment)

RN 736995-69-0 HCAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3-diol, 25-methyl-2-methylene-, (1 α ,3 β ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L20 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:34597 HCAPLUS

DOCUMENT NUMBER: 142:127627

TITLE: (20S)-1 α -hydroxy-2-methylene-19-nor-vitamin D3, preparation thereof, and therapeutic use

INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.; Grzywacz, Pawel K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 13 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005009792	A1	20050113	US 2003-614964	20030708
WO 2005018648	A1	20050303	WO 2004-US21788	20040707

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-614964 A 20030708

AB The compound (20S)-1 α -hydroxy-2 methylene-19 nor-vitamin D₃, its preparation, and pharmaceutical uses therefor, are described. This compound exhibits pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte, thus evidencing use as an anticancer agent and for the treatment of skin diseases such as psoriasis as well as skin conditions such as wrinkles, slack skin, dry skin and insufficient sebum secretion. This compound also has very significant calcemic activity and therefore may be used to treat immune disorders in humans as well as metabolic bone diseases such as osteoporosis.

IT 618104-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

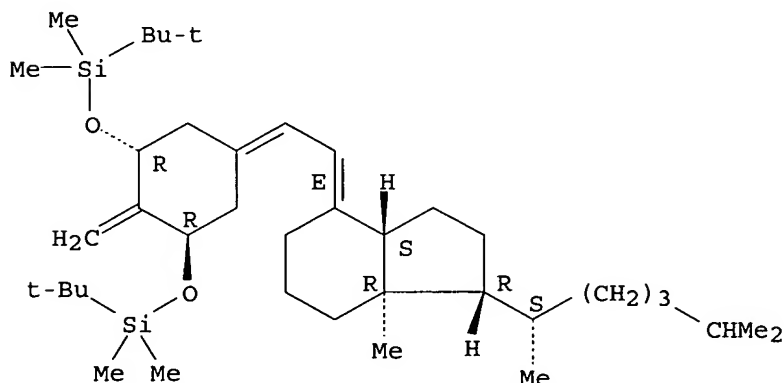
((20S)-1-hydroxy-2-methylene-19-nor-vitamin D, preparation, and therapeutic use)

RN 618104-22-6 HCAPLUS

CN Silane, [[(1 α ,3 β ,7E,20S)-2-methylene-19-nor-9,10-secocholesta-5,7,10(19)-triene-1,3-diyl]bis(oxy)]bis(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 618104-21-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

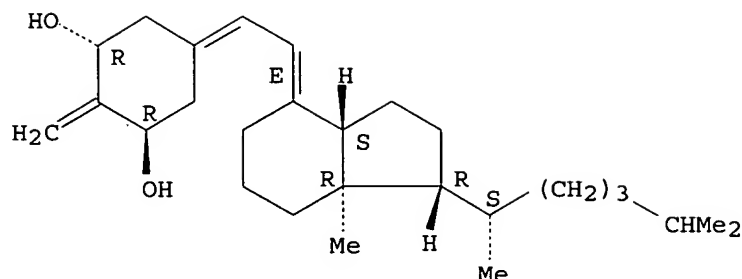
((20S)-1 α -hydroxy-2-methylene-19-nor-vitamin D₃, preparation, and therapeutic use)

RN 618104-21-5 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-1,5-dimethylhexyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L20 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:15935 HCAPLUS

DOCUMENT NUMBER: 142:86708

TITLE: 2-methylene-19-nor-20(s)-25-methyl-1α-hydroxycalciferol and its uses

INVENTOR(S): Deluca, Hector F.; Grzywacz, Pawel K.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 613,201, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005004085	A1	20050106	US 2003-657533	20030908
US 6894037	B2	20050517		
WO 2005011706	A1	20050210	WO 2004-US21563	20040706
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:	US 2003-613201	B2 20030703
	US 2003-657533	A 20030908

OTHER SOURCE(S): MARPAT 142:86708

AB This invention provides a novel vitamin D analog, namely, 2-methylene-19-nor-20(S)-25-methyl-1α-hydroxycalciferol. The compound is characterized by relatively high intestinal calcium transport activity and relatively low bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly osteoporosis. The 2-substituted compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

IT 736995-69-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

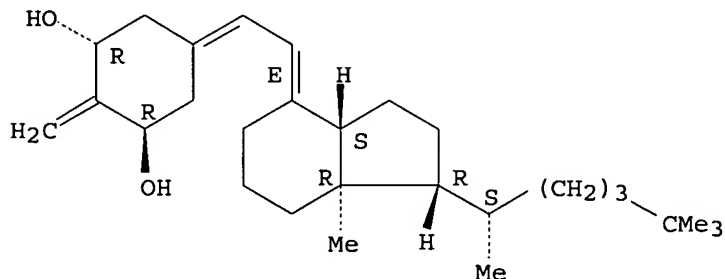
(preparation of 2-methylene-19-nor-20(s)-25-methyl-1 α -hydroxycalciferol)

RN 736995-69-0 HCAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3-diol, 25-methyl-2-methylene-, (1 α ,3 β ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 819872-38-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

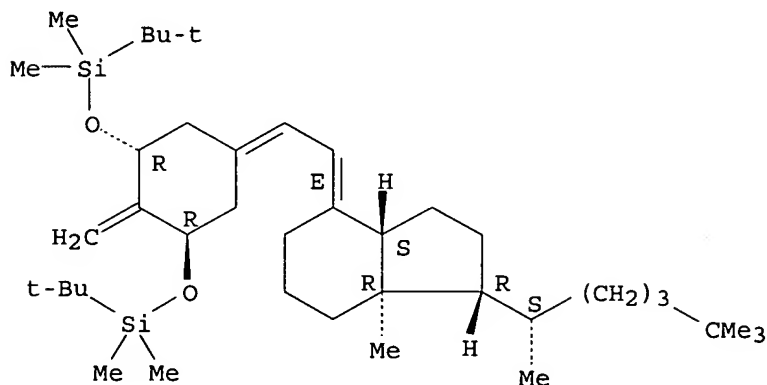
(preparation of 2-methylene-19-nor-20(s)-25-methyl-1 α -hydroxycalciferol)

RN 819872-38-3 HCAPLUS

CN Silane, [[(1 α ,3 β ,7E,20S)-25-methyl-2-methylene-19-nor-9,10-secocholesta-5,7-diene-1,3-diyl]bis(oxy)]bis[(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L20 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:522161 HCAPLUS

DOCUMENT NUMBER: 141:185220

TITLE: 2-Methylene analogs of 1 α -hydroxy-19-norvitamin D3: synthesis, biological activities and docking to

the ligand binding domain of the rat vitamin D receptor

AUTHOR(S): Grzywacz, Pawel; Plum, Lori A.; Sicinska, Wanda; Sicinski, Rafal R.; Prahl, Jean M.; DeLuca, Hector F.

CORPORATE SOURCE: Department of Biochemistry, University of Wisconsin-Madison, Madison, WI, 53706, USA

SOURCE: Journal of Steroid Biochemistry and Molecular Biology (2004), 89-90(1-5), 13-17
CODEN: JSBBEZ; ISSN: 0960-0760

PUBLISHER: : Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In continuing efforts towards the synthesis of biol. active vitamin D compds. of potential therapeutic value, new 2-methylene-1 α -hydroxy-19-norvitamin D3 analogs 3 and 4 with modified alkyl side chains have been synthesized. The key synthetic step involved Lythgoe-type Wittig-Horner coupling of Windaus-Grundmann type ketones 9, possessing different 17 β -alkyl substituents, with the phosphine oxide 10 prepared from (-)-quinic acid. The prepared vitamins 3 and 4 were .apprx.eight times less potent than 1 α ,25-dihydroxyvitamin D3 (1 α ,25-(OH)₂D3) (1) in binding to the rat intestinal vitamin D receptor (VDR). In comparison with the hormone 1 they exhibited slightly lower cellular HL-60 differentiation activity. When tested in vivo; the analog 3 was characterized by very high bone calcium mobilizing potency and intestinal calcium transport activity. Unexpectedly, the 25-Me compound 4 showed marked calcemic activity in both assays. Computational docking of the vitamin 3 into the binding pocket of the rat vitamin D receptor is also reported.

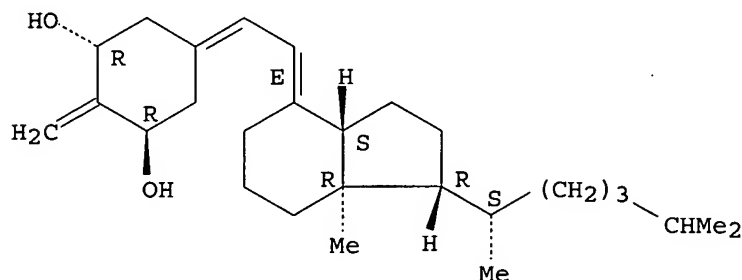
IT 618104-21-5P 736995-69-0P

RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis, biol. activities of 2-Methylene analogs of 1 α -hydroxy-19-norvitamin D3 and their docking to ligand binding domain of rat vitamin D receptor)

RN 618104-21-5 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-1,5-dimethylhexyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

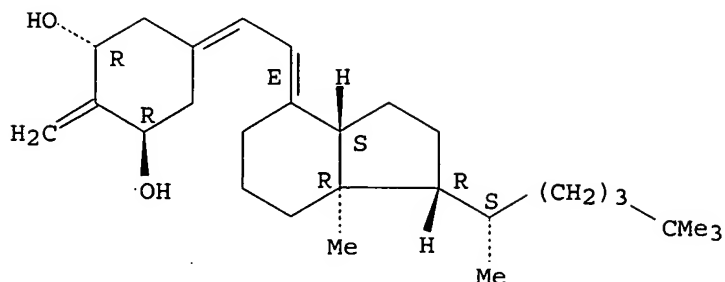


RN 736995-69-0 HCAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3-diol, 25-methyl-2-methylene-, (1 α ,3 β ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:855808 HCAPLUS

DOCUMENT NUMBER: 139:345953

TITLE: (20S)-1α-Hydroxy-2α-methyl--19-nor-vitamin D3 and (20S)-1α-hydroxy-2β-methyl--19-nor-vitamin D3, and pharmaceutical uses

INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.; Grzywacz, Pawel K.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088977	A1	20031030	WO 2003-US8423	20030320
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US 2003203882	A1	20031030	US 2002-127180	20020422
US 6846811	B2	20050125		
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EP 1496914	A1	20050119	EP 2003-714261	20030320
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BR 2003009405	A	20050215	BR 2003-9405	20030320
JP 2005529878	T2	20051006	JP 2003-585729	20030320
US 2004152675	A1	20040805	US 2004-762618	20040122
US 6844330	B2	20050118		
US 2004152676	A1	20040805	US 2004-762710	20040122
US 6844457	B2	20050118		
US 2004152677	A1	20040805	US 2004-762906	20040122

US 6844331	B2	20050118		
US 2004152678	A1	20040805	US 2004-762911	20040122
US 2004152679	A1	20040805	US 2004-763023	20040122
US 2004152680	A1	20040805	US 2004-763029	20040122
US 6844332	B2	20050118		
US 2005159397	A1	20050721	US 2005-37876	20050118
PRIORITY APPLN. INFO.:			US 2002-127180	A 20020422
			WO 2003-US8423	W 20030320

AB The invention discloses (20S)-1 α -hydroxy-2 α -methyl-19-nor-vitamin D3 and (20S)-1 α -hydroxy-2 β -methyl-19-nor-vitamin D3 and pharmaceutical uses therefor. These compds. exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte, thus evidencing use as an anticancer agent and for the treatment of skin diseases, e.g. psoriasis, as well as skin conditions such as wrinkles, slack skin, dry skin and insufficient sebum secretion. These compds. also have very significant calcemic activity and therefore may be used to treat immune disorders in humans as well as metabolic bone diseases, e.g. osteoporosis. Compound preparation is described.

IT 618104-20-4P

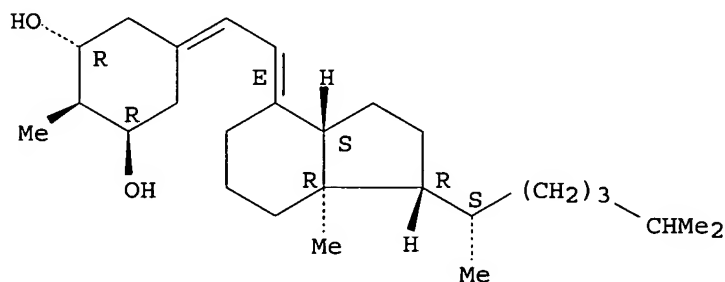
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nor-vitamin D3 derivs. and pharmaceutical uses)

RN 618104-20-4 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-1,5-dimethylhexyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methyl-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 618104-21-5P 618104-22-6P

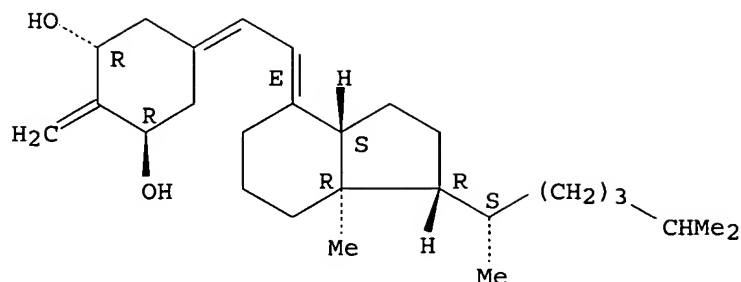
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nor-vitamin D3 derivs. and pharmaceutical uses)

RN 618104-21-5 HCAPLUS

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1S)-1,5-dimethylhexyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-methylene-, (1R,3R)- (9CI) (CA INDEX NAME)

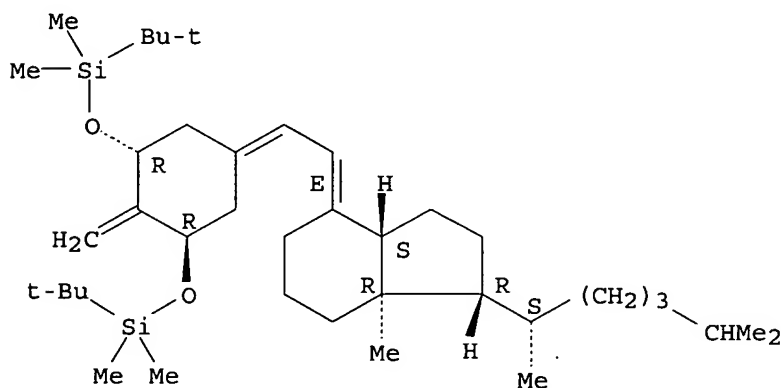
Absolute stereochemistry.
Double bond geometry as shown.



RN 618104-22-6 HCAPLUS

CN Silane, [[(1 α ,3 β ,7E,20S)-2-methylene-19-nor-9,10-secocholesta-5,7,10(19)-triene-1,3-diyl]bis(oxy)]bis(1,1-dimethylethyl)dimethyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:155848 HCAPLUS

DOCUMENT NUMBER: 130:209850

TITLE: Preparation of vitamin D derivatives with substituent at the 2 β -position

INVENTOR(S): Miyamoto, Katsuhito; Kubodera, Noboru

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: U.S., 17 pp., Cont. of U.S. Ser. No. 386,544, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

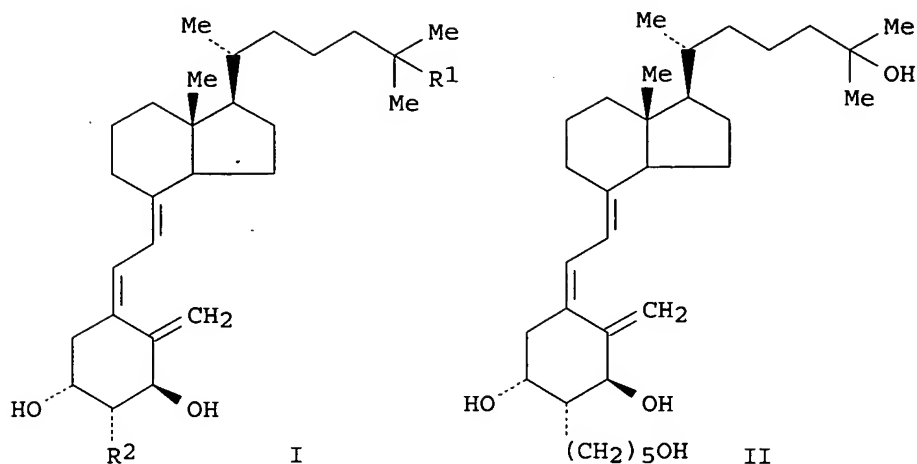
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5877168	A	19990302	US 1996-706969	19960903
US 6124276	A	20000926	US 1998-116999	19980717
PRIORITY APPLN. INFO.:			US 1995-386544	B1 19950210

US 1996-706969

A3 19960903

OTHER SOURCE(S) :
GI

MARPAT 130:209850



AB 1 α -Hydroxy-vitamin D derivs. of formula I [R1 = H, OH; R2 = alkyl, alkenyl, alkynyl] are prepared. The compds. exhibit calcium metabolism regulating activity and differentiation stimulating activity on tumor cells, etc. and are useful as a treating agent for diseases caused by abnormal calcium metabolism, such as osteoporosis and osteomalacia, or as an antitumor agent. Thus, II was prepared from 5-bromo-1-pentene and 3 β ,25-dihydroxy-1 α ,2 α -epoxycholesta-5,7-diene, and showed bone formation activity.

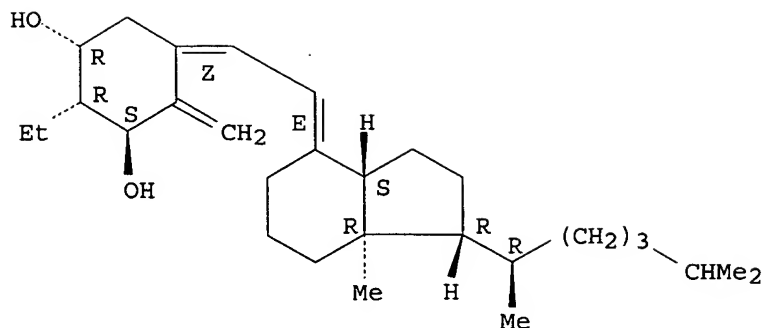
IT 158387-98-5P 158388-13-7P 158388-17-1P
158388-21-7P 158388-25-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2 β -substituted vitamin D derivs. for the treatment of osteoporosis)

RN 158387-98-5 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-ethyl-,
(1 α ,2 β ,3 β ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

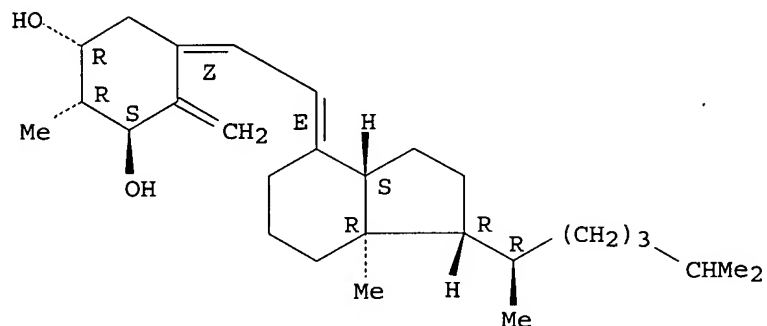


RN 158388-13-7 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-methyl-,
(1 α ,2 β ,3 β ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

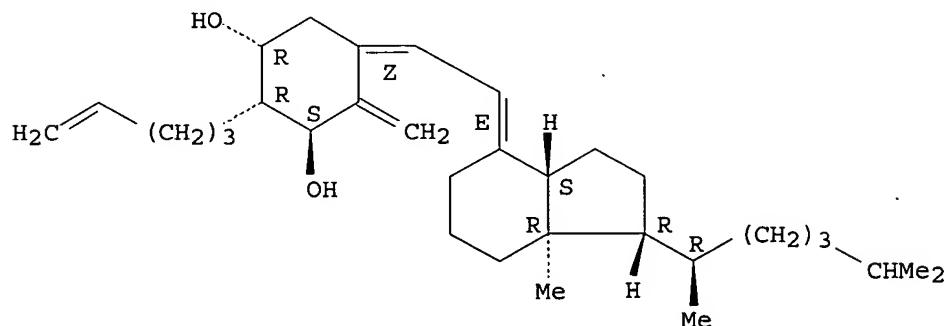


RN 158388-17-1 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-(4-pentenyl)-,
(1 α ,2 β ,3 β ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

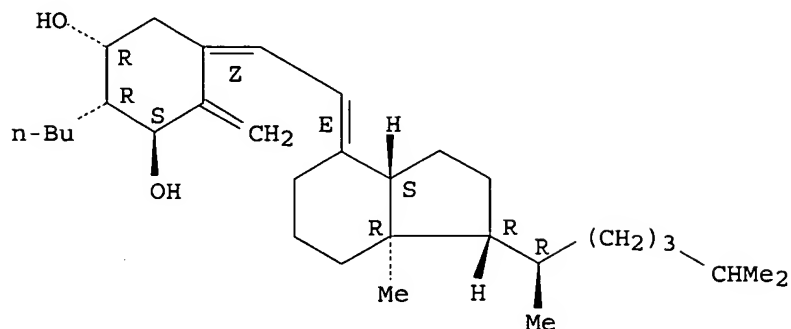
Double bond geometry as shown.



RN 158388-21-7 HCAPLUS

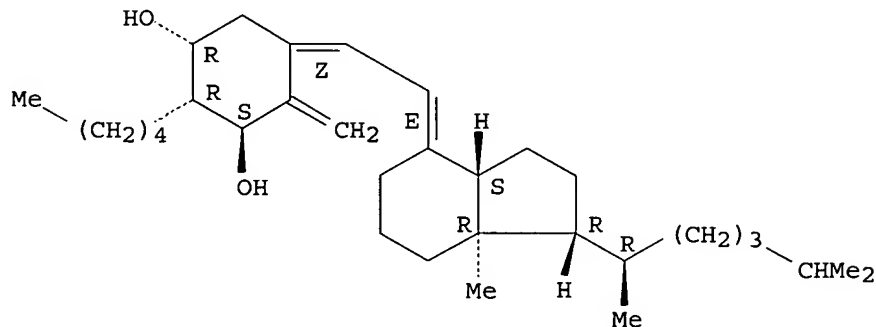
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-butyl-,
(1 α ,2 β ,3 β ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 158388-25-1 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-pentyl-,
(1 α ,2 β ,3 β ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:656121 HCAPLUS
DOCUMENT NUMBER: 121:256121
TITLE: 2 β -Substituted vitamin D derivatives
INVENTOR(S): Myamoto, Katsuhito; Kubodera, Noboru
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06041059	A2	19940215	JP 1992-333441	19921030
JP 3213092	B2	20010925		

PRIORITY APPLN. INFO.:

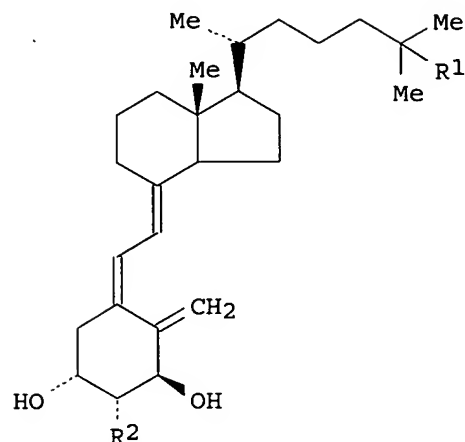
JP 1991-349340

A1 19911101

OTHER SOURCE(S):

MARPAT 121:256121

GI



AB Title derivs. I ($R_1 = H, OH$; $R_2 =$ lower alkyl, lower alkenyl, lower alkynyl; R_2 may be substituted with OH , halogen, cyano, lower alkoxy, amino, or acylamino), useful for treatment of osteoporosis, are prepared. Thus, treating $1\alpha,2\alpha$ -epoxy- $5\alpha,8\alpha$ -(3,5-dioxo-4-phenyl-1,2,4-triazoridino)-6-cholesten- 3β -ol with $EtMgBr$ in THF under Ar gave 69% 2β -ethyl- $1\alpha,3\beta$ -dihydroxy-5,7-cholestadiene, 32.6 mg of which was dissolved in EtOH and UV-irradiated to give 0.59 mg 2β -ethyl- $1\alpha,3\beta$ -dihydroxy-9,10-secocholesta-5,7,10(19)-triene.

IT 158387-98-5P 158388-13-7P 158388-17-1P
158388-21-7P 158388-25-1P

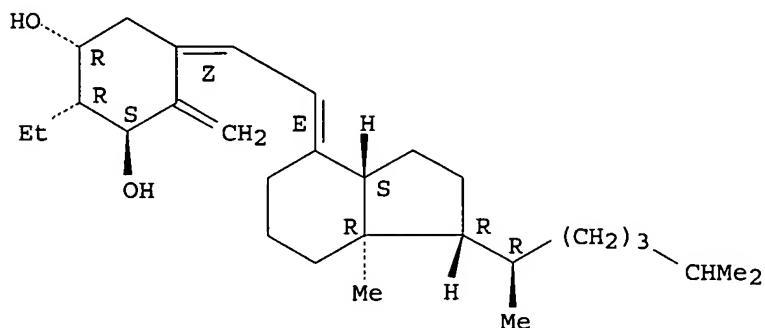
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of osteoporosis)

RN 158387-98-5 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-ethyl-,
($1\alpha,2\beta,3\beta,5Z,7E$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

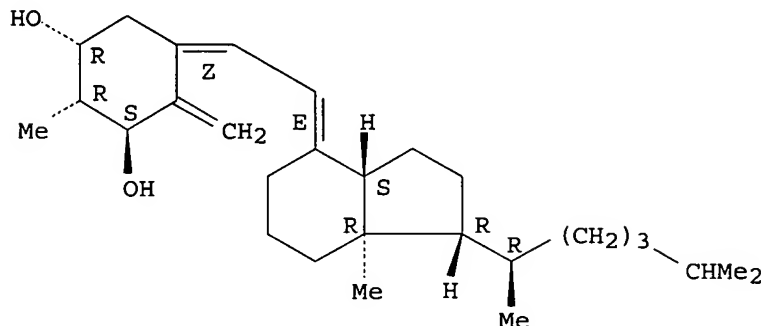
Double bond geometry as shown.



RN 158388-13-7 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-methyl-,
(1 α ,2 β ,3 β ,5Z,7E)- (9CI) (CA INDEX NAME)

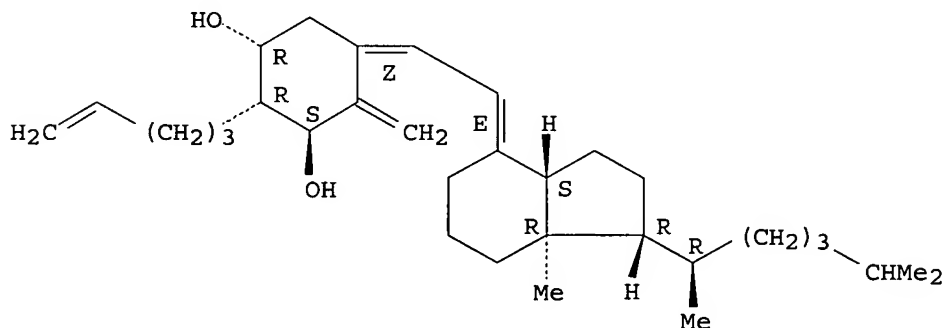
Absolute stereochemistry.
Double bond geometry as shown.



RN 158388-17-1 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-(4-pentenyl)-,
(1 α ,2 β ,3 β ,5Z,7E)- (9CI) (CA INDEX NAME)

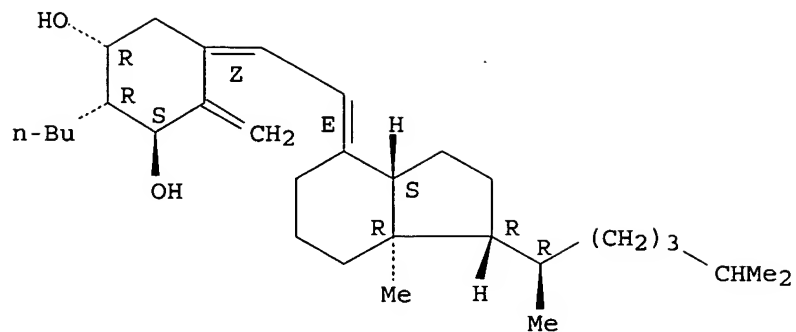
Absolute stereochemistry.
Double bond geometry as shown.



RN 158388-21-7 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-butyl-,
(1 α ,2 β ,3 β ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 158388-25-1 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3-diol, 2-pentyl-,
(1 α ,2 β ,3 β ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

